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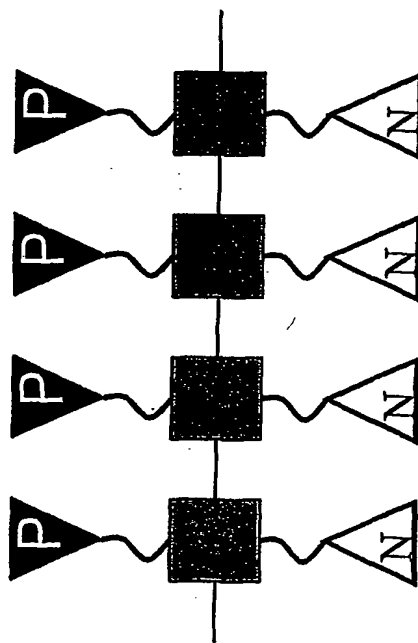
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FIG.1

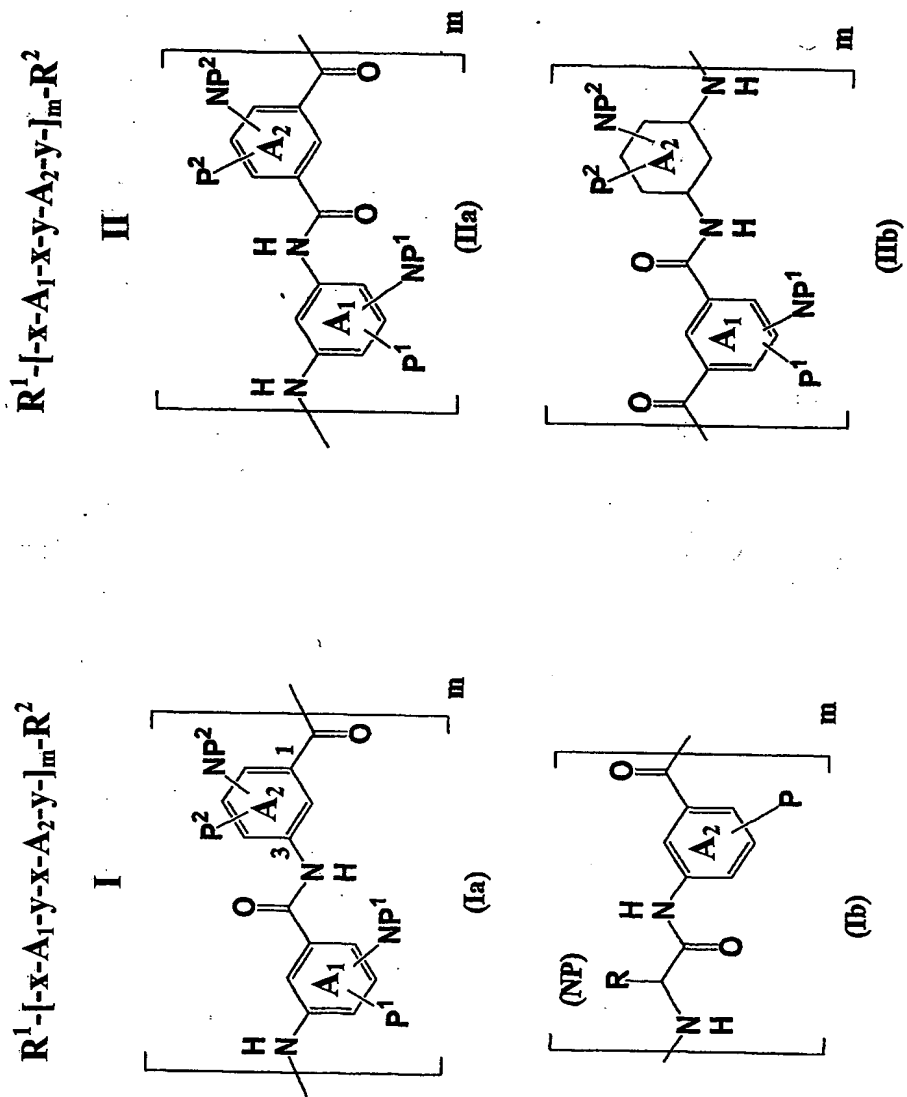


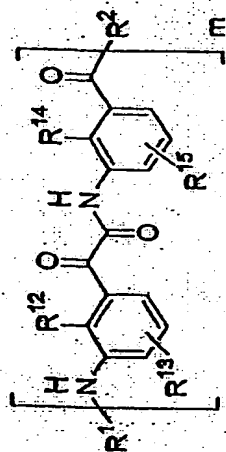
P = polar group N = nonpolar group



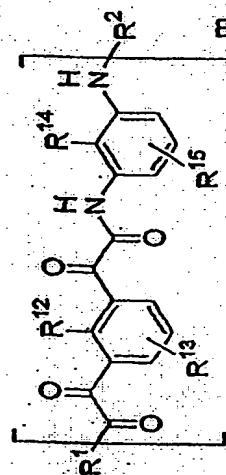
FIG. 2

FIG. 3

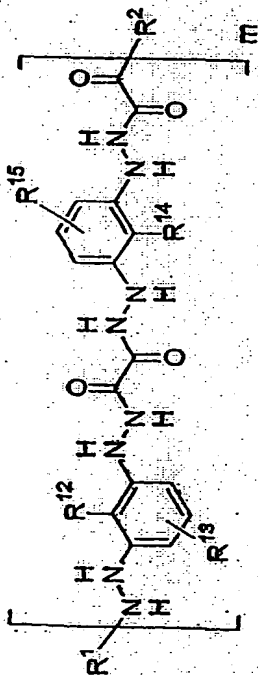




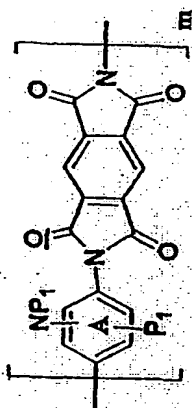
(XV)



(XVI)



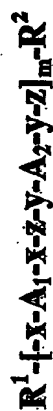
(XVII)



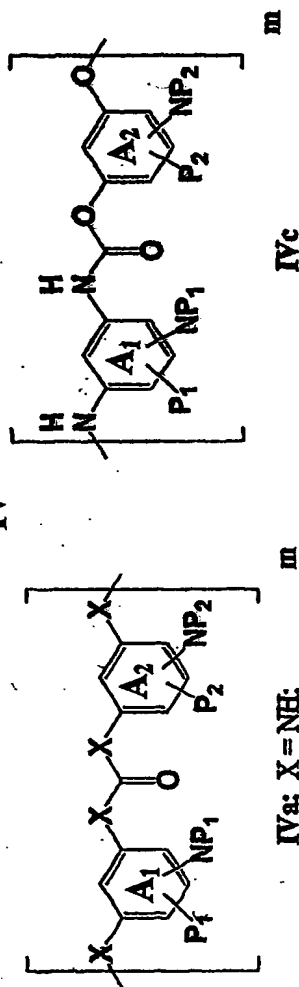
(IVg)

FIG. 4

FIG. 5

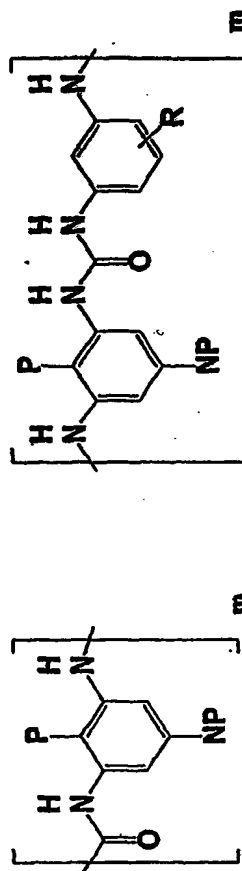


IV



m

IVc



IVe

P	NP ¹	R
O-CH ₂ -CH ₂ -NMe ₂	O-CH ₂ -CH ₂	O-CH ₂ -CH ₂ -CH ₃
O-CH ₂ -CH ₂ -(2-pyridyl)	O-CH ₂ -CH ₂ -NMe ₂	O-CH ₂ -CH ₂ -CH ₂ -CH ₃
O-CH ₂ -CH ₂ -N(CH ₂ -CH ₂ -NMe ₂) ₂	O-CH ₂ -CH ₂	O-CH ₂ -CH ₂ -CH ₂ -CH ₃
O-CH ₂ -CH ₂ -(2-imidazolyl)	O-CH ₂ -CH ₂	O-CH ₂ -CH ₂
O-CH ₂ -CH ₂ -NH-C(=NH)-NH ₂	O-CH ₂ -CH ₂ -NMe ₂	O-CH ₂ -CH ₂ -CH ₃
O-CH ₂ -CH ₂ -N(CH ₂ -CH ₂) ₂ -NH	O(CH ₂) ₂ -Me	O-CH ₂ -CH ₂ -CH ₂ -CH ₃

FIG. 6

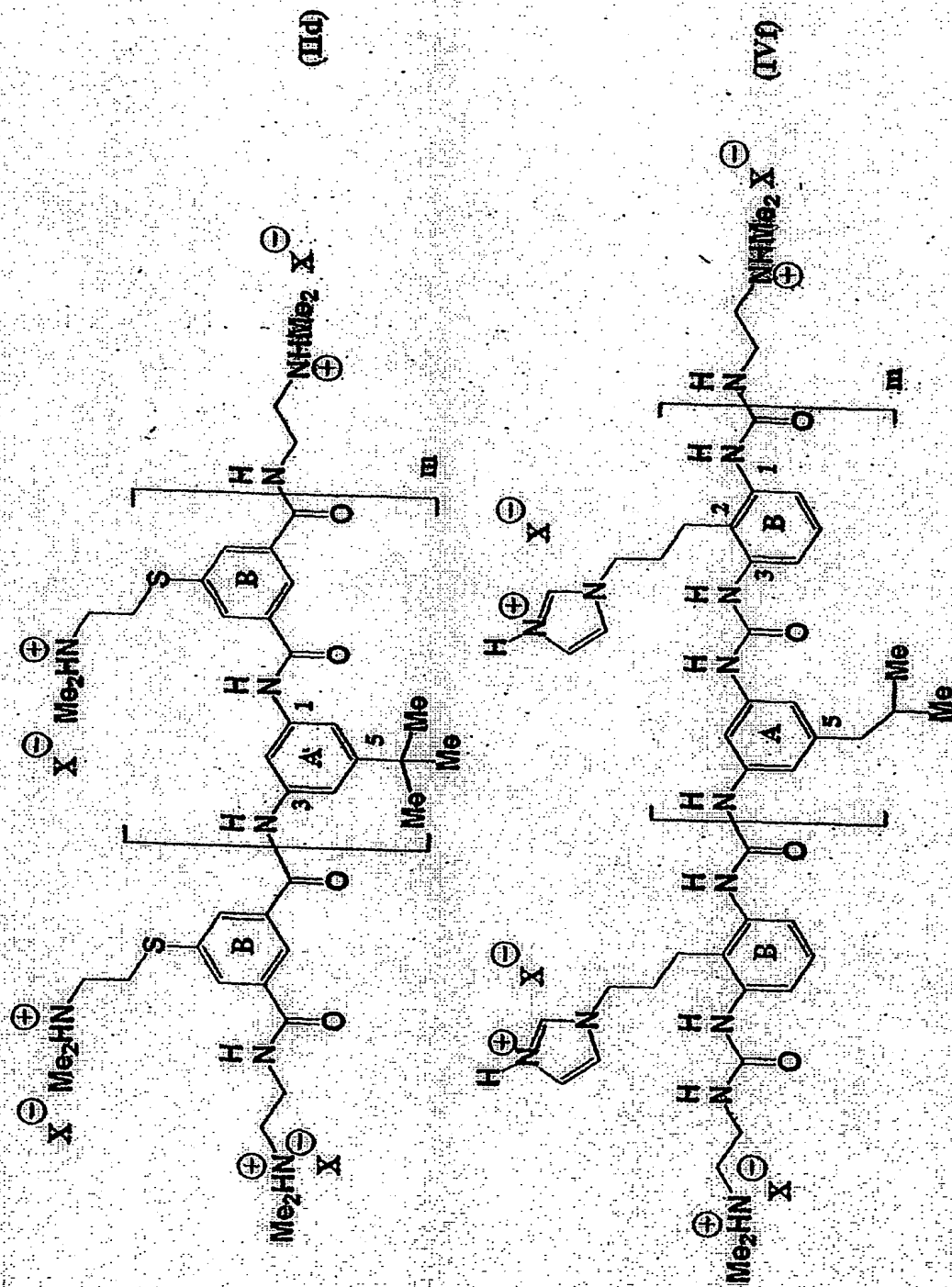
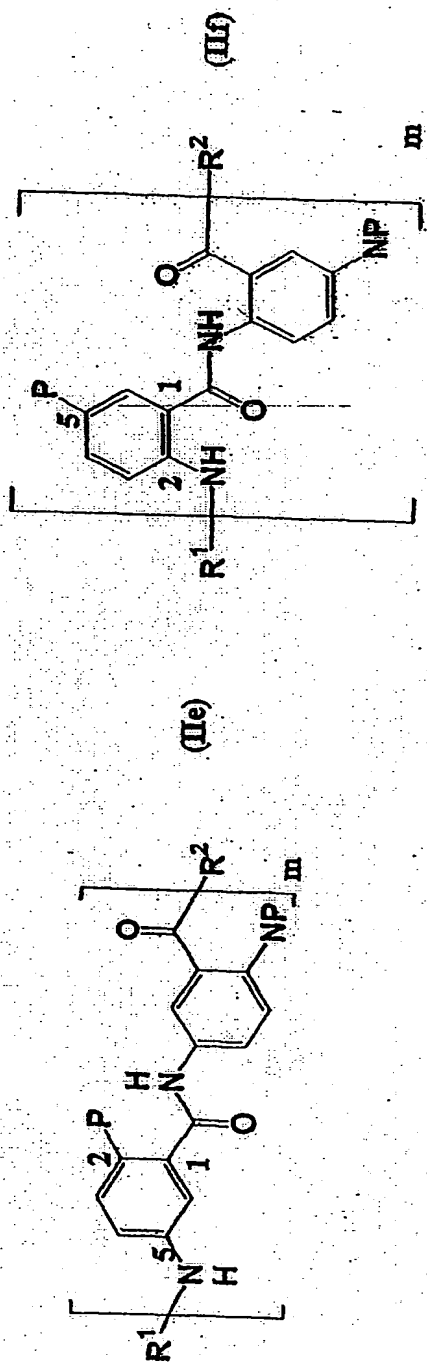


FIG. 7



P	NP
$O-CH_2-CH_2-NMe_2$	$O-CH_2-CH_3$
$O-CH_2-CH_2-(2-Rigid)$	$O-CH_2-CHMeO_2$
$O-CH_2-CH_2-N(CH_2-CH_2-NMe_2)_2$	$O-CHMe_2$
$O-CH_2-CH_2-(2-imidazolyl)$	$OCMe_3$
$O-CH_2-CH_2-NH-C(=N)NEt_4$	$O-CH_2-CHMe_2$
$O-CH_2-CH_2-N(CH_2-CH_3)_2NEt$	$O(CH_2)_4Me$

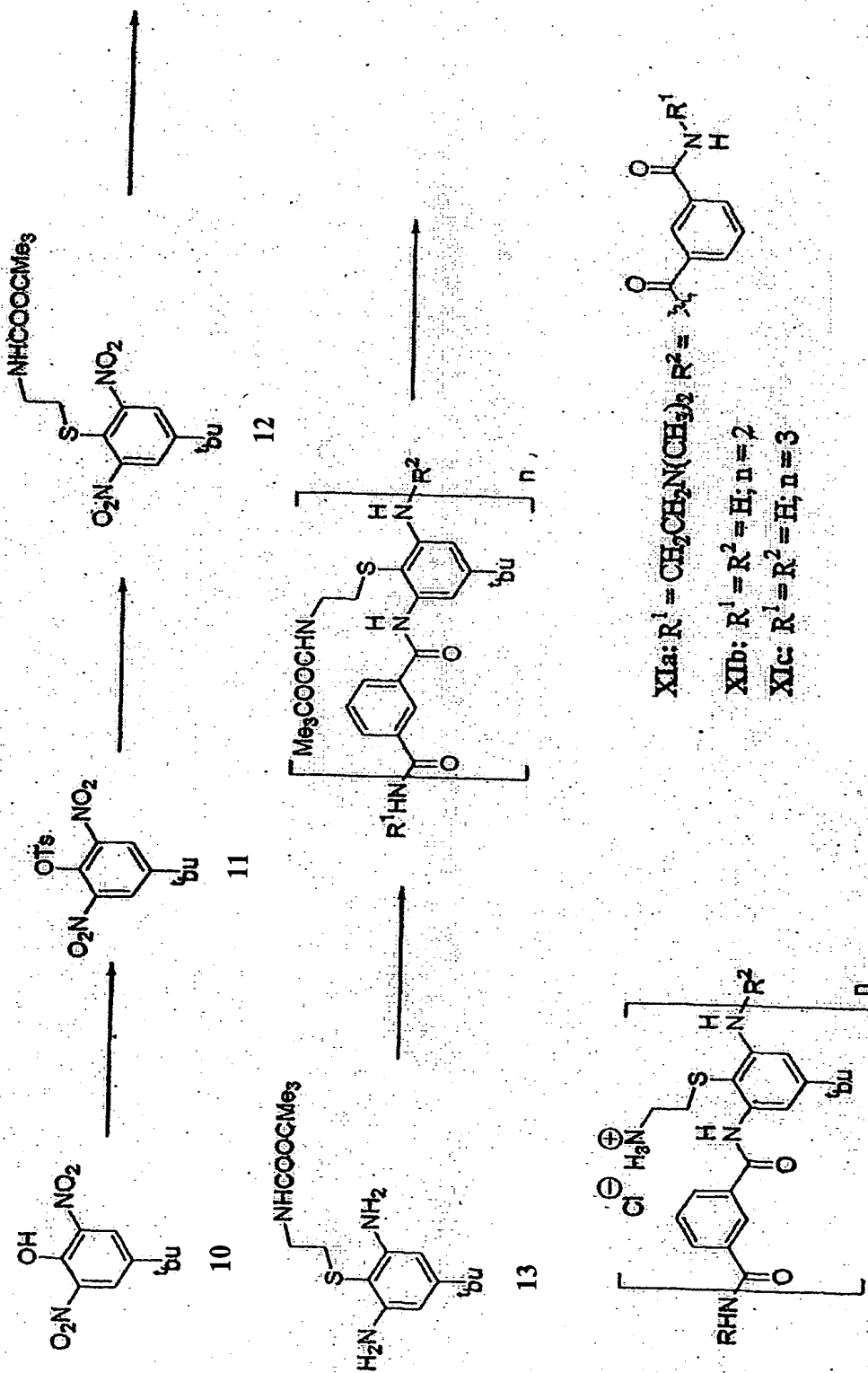
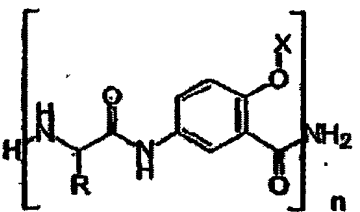


FIG. 8

			Antimicrobial Activity MIC (μg/mL) ¹			Hemolytic Activity HC ₅₀ (μg/mL)
R	X	n	<i>E. c.</i>	<i>K. p.</i>	<i>B. s.</i>	
CH ₂ CH(CH ₃) ₂	(CH ₂) ₂ NHC(=NH)NH ₂	4	20	50	6	200
		5	20	25	6	200
	(CH ₂) ₂ NH ₂	4	12	50	6	200
		5	12	50	6	200
	(CH ₂) ₂ NHC(=NH)NH ₂	4	12	50	12	35
		5	12	50	12	8
	(CH ₂) ₂ NH ₂	2	>60	500	8	>200
		3	>500	>500	37	>200
		4	~30	63	8	>200
	(CH ₂) ₂ NH ₂	4	100	500	100	
CH(CH ₃)CH ₂ CH ₃		5	100	500	100	
	(CH ₂) ₂ NH ₂	4	500	500	20	
C ₆ H ₅		5	100	500	20	
	(CH ₂) ₂ NH ₂	4	500	500	100	
<i>n</i> -C ₄ H ₉		5	500	>500	100	
	(CH ₂) ₂ NH ₂	4	500	500	500	
(CH ₂) ₂ NHC(=NH)NH ₂	Me	4	>500	500	500	
		5	500	500	500	
(CH ₂) ₂ NHC(=NH)NH ₂	iso-pentyl	4	100	100	6	4
		5	100	100	12	4
(CH ₂) ₄ NH ₂		2	>500	>500	25	
		4	63	63	<5	

¹ *E. c.* *Escherichia coli* D31; *K. p.* *Klebsiella pneumoniae* 10; *B. s.* *Bacillus subtilis*

FIG. 9

Time Course of Bacterial Growth

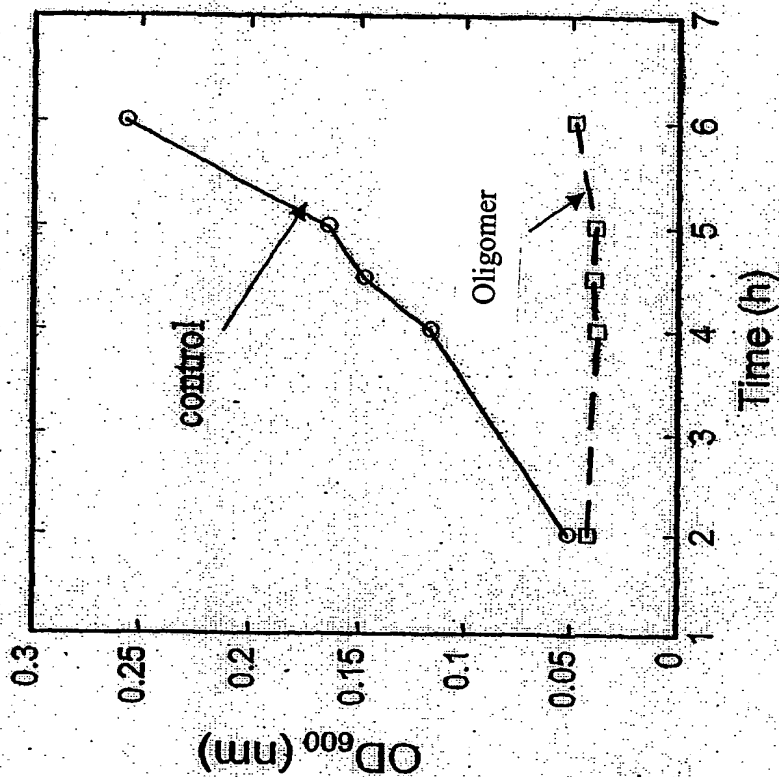
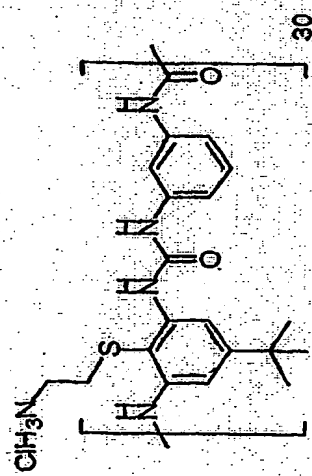


FIG. 11



MIC = 25 μ g/ml polyurea

MIC = 5 μ g/ml magainin

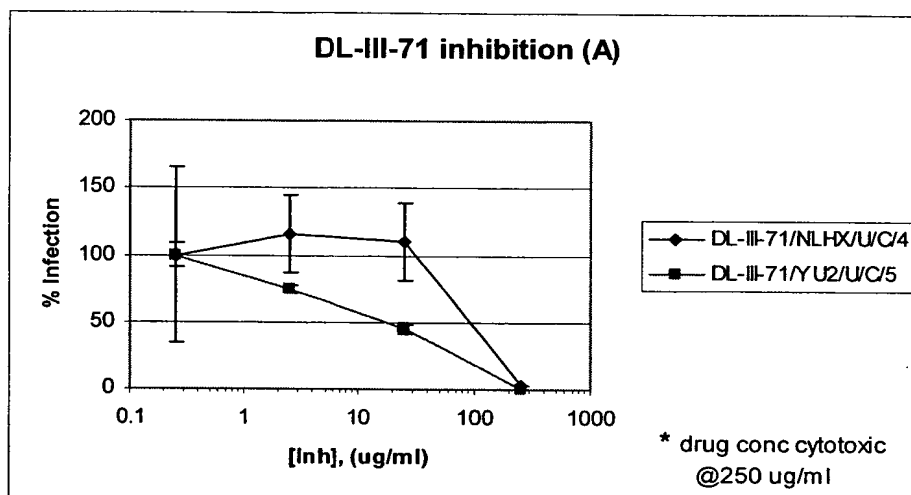


FIG. 12

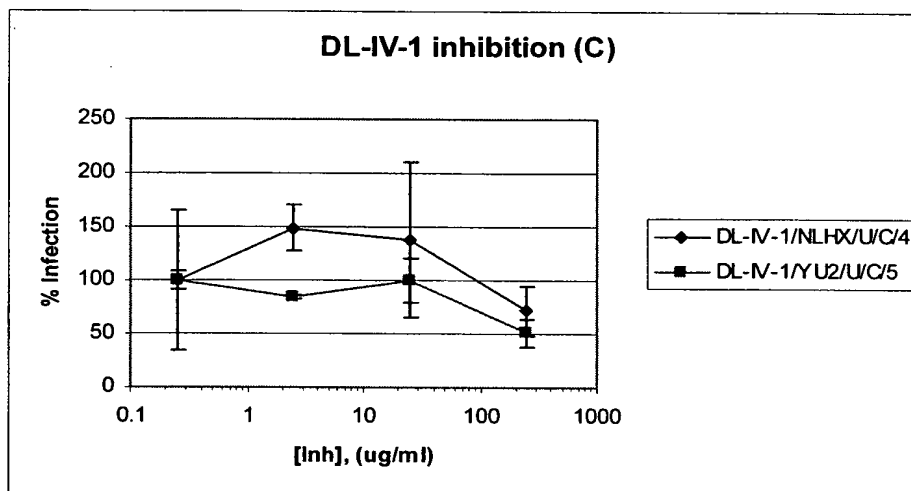


FIG. 13

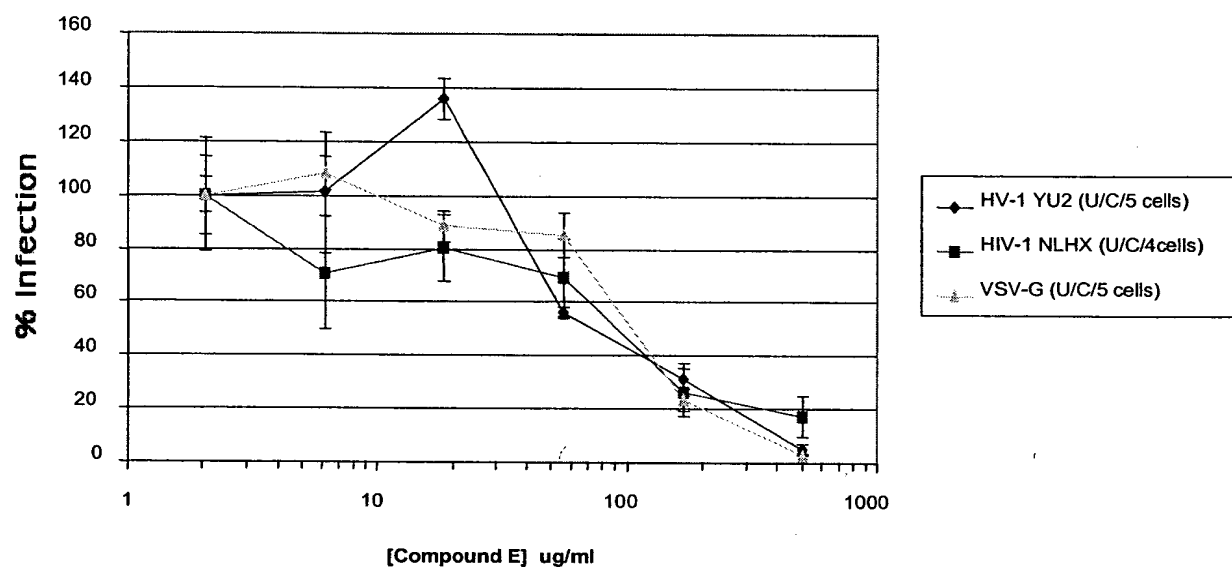
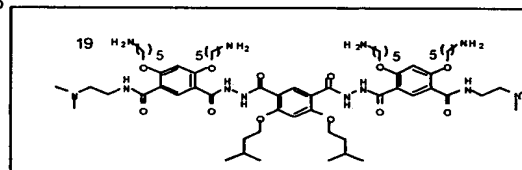
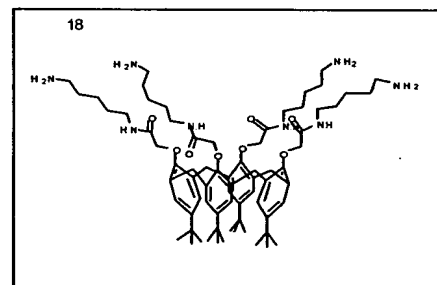
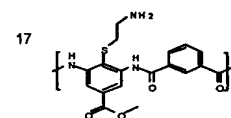
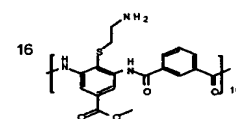
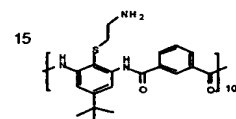
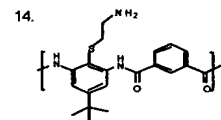
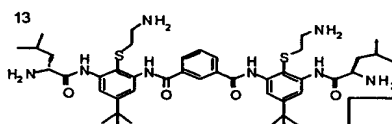
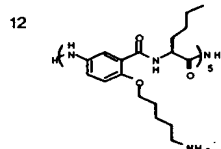
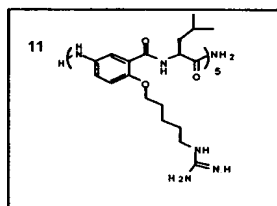
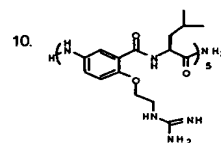
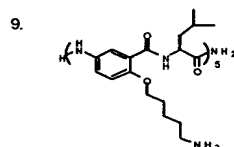
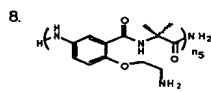
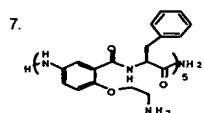
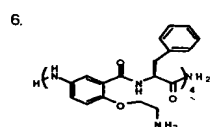
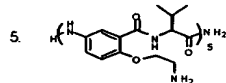
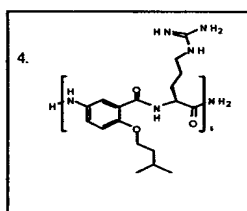
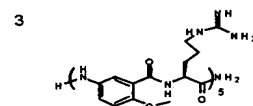
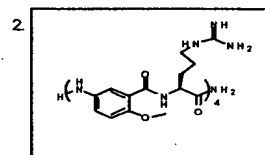
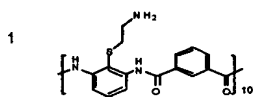


FIG. 14

FIG. 15 **Compound Structures**



Y 093 Z

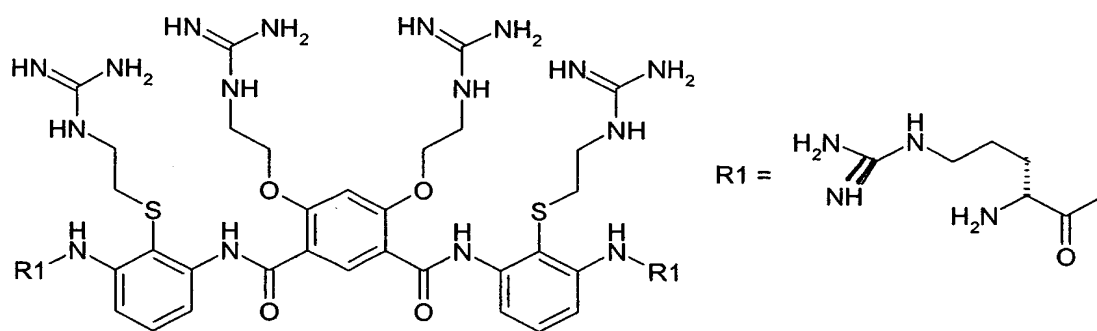


FIG. 16 **Pmx10073**

FIG. 17 Antagonism of the LMWH Effects on Clotting Time in Whole Blood by Pmx10073.

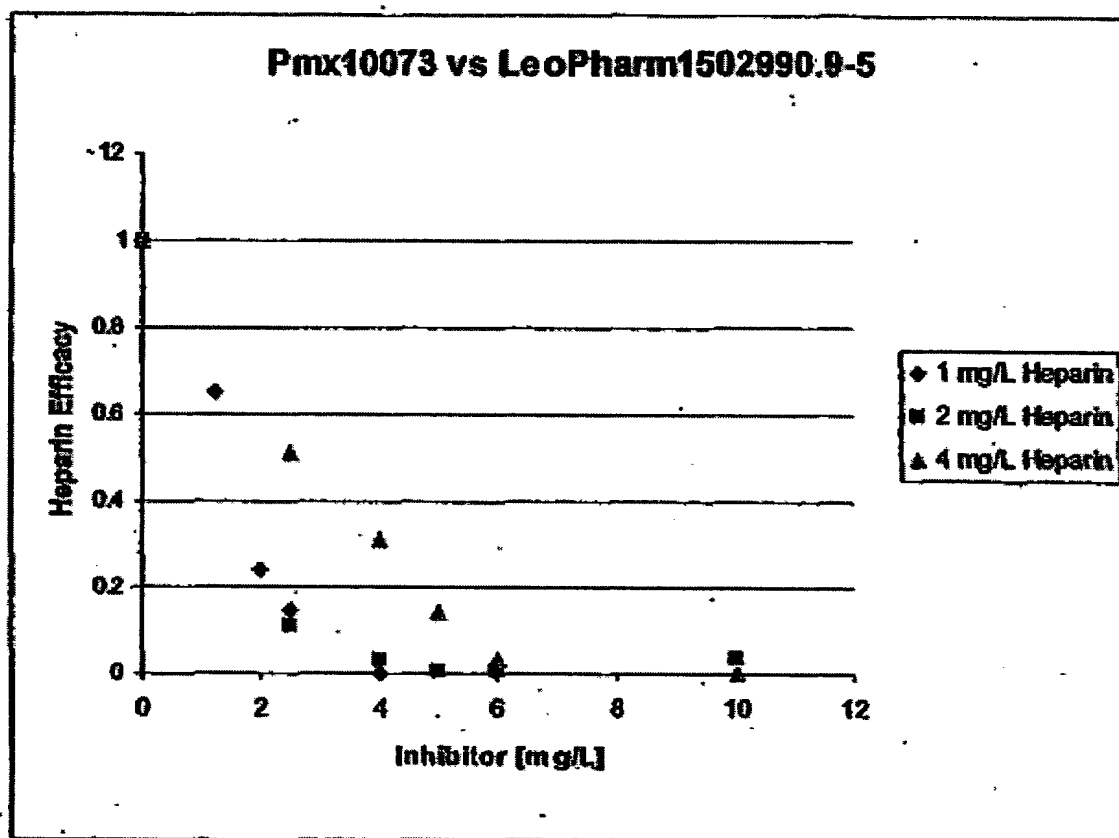
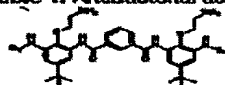


Table 1. Antibacterial activity and selectivity.

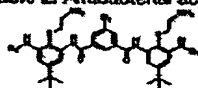


Compound	R ₁	MIC (µg/mL)		HC50 (µg/mL)	Selectivity (HC50/MIC)		Relative Hydrophobicity LogK _{ow}
		<i>E. coli</i>	<i>S. aureus</i>		<i>E. coli</i>	<i>S. aureus</i>	
1	H	12.5	50	12	0.96	0.24	3.51
2		6.25	12	40	6.4	3.3	3.12
3		6.25	6.25	9	1.4	1.4	3.74
4		6.25	6.25	7	1.1	1.1	3.86
5		25	50	790	32	16	1.45
6		25	100	1230 nd	49	12	2.99
7		50		370	7.4		0.33
8		6.25	12.5	715	110	57	-1.71
MSL-78		12.5		120	9.6		

ndHC50 was obtained from extrapolating the fitted curve to 50 % lysis

FIG. 18

Table 2. Antibacterial activity and selectivity (continued).



Compound	R ₁	R ₂	MIC (μg/mL)		HC50 (μg/mL)	Selectivity (HC50/MIC)		Relative Hydrophobicity Log K _{ow}
			E.coli	S. aureus		E.coli	S. aureus	
1	H	H	12.5	50	12	0.96	0.24	3.51
9	H		25	25	110 nd	4.4	4.4	2.61
10			50	200	400	8.0	2.0	1.53
3		H	6.25	6.25	9	1.4	1.4	3.74
11			12.5	12.5	61	4.9	4.9	2.84
8		H	6.25	12.5	715	110	57	-1.71
12			12.5	12.5	>800	>64	>64	-2.61
MSI-78			12.5		120	9.6		

nd HC50 was obtained from extrapolating the fitted curve to 50 % lysis

FIG. 19

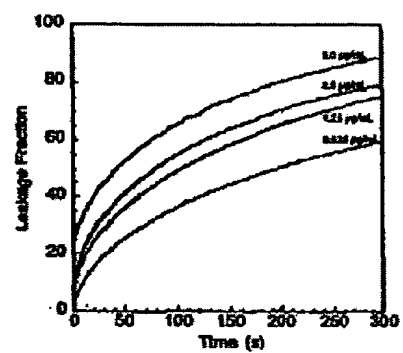


Figure 2. Amphiphilic oligomer 8 induces vesicle leakage.

FIG. 20